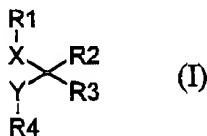


IN THE CLAIMS:Replace claims 1-9 and 12-17 as originally filed with amended claims 1-9 and 12-17.Cancel claims 10 and 11.

1. (Amended) A compound of general Formula I



or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R₁ is selected from the group consisting of:

C₁-C₆ alkyl, substituted with one or more basic groups;
 cycloalkyl, substituted with one or more basic groups;
 heterocyclyl, comprising at least one nitrogen atom;
 heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and
 aryl, substituted with one or more basic groups;

R₂ is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbamoyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, a Z₂N-CO-O- group, a ZO-CO-NZ- group, and a Z₂N-CO-NZ- group;

R₃ is selected from the group consisting of COOR₅, SO(OR₅), SO₃R₅, P=O(OR₅)₂, B(OR₅)₂, P=OR₅(OR₅), tetrazole, and a carboxylic acid isostere;

R₄ is SH, S-CO-C₁-C₆ alkyl, or S-CO-aryl;

R₅ is H, C₁-C₆ alkyl, or aryl;

R₆ is H or C₁-C₆ alkyl;

X is selected from the group consisting of O, S, SO, SO₂, C(Z)₂, N(Z), NR₆SO₂, SO₂NR₆, NR₆CO, and CONR₆;

Y is C(Z)₂; and

contd.
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Z is independently selected from the group consisting of H, C₁-C₆ alkyl, aryl, cycloalkyl, and heterocyclyl.

2. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R₁ is selected from the group consisting of:

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom;

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and

aryl, substituted with one or more basic groups;

R₂ is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbonyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbonyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, Z₂N-CO-O-, ZO-CO-NZ-, and Z₂N-CO-NZ-;

R₃ is COOR₅;

R₄ is SH, S-CO-C₁-C₆ alkyl, or S-CO-aryl;

R₅ is H, C₁-C₆ alkyl, or aryl;

R₆ is H or C₁-C₆ alkyl;

X is selected from the group consisting of O, S, SO, SO₂, C(Z)₂, N(Z), NR₆SO₂, SO₂NR₆, and CONR₆;

Y is C(Z)₂; and

Z is independently selected from the group consisting of H, C₁-C₆ alkyl, aryl, cycloalkyl and heterocyclyl.

3. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R₁ is selected from the group consisting of:

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cycloalkyl, substituted with one or more basic groups;
heterocyclyl, comprising at least one nitrogen atom; and
heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted
with one or more basic groups;

R₂ is selected from the group consisting of H, C₁-C₃ alkyl, amino, halogen, and hydroxy;

R₃ is COOR₅;

R₄ is SH, S-CO-C₁-C₆ alkyl, or S-CO-aryl;

R₅ is H, C₁-C₆ alkyl, or aryl;

X is C(Z)₂;

Y is C(Z)₂; and

Z is independently H or C₁-C₆ alkyl.

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4. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R₁ is selected from the group consisting of:

cycloalkyl, substituted with one or more basic groups; and

heterocyclyl, comprising at least one nitrogen atom;

R₂ is H, F, or C₁ alkyl;

R₃ is COOR₅;

R₄ is SH, S-CO-C₁-C₆ alkyl, or S-CO-aryl;

R₅ is H, C₁-C₆ alkyl, or aryl;

X is C(Z)₂;

Y is C(Z)₂; and

Z is independently H or C₁-C₆ alkyl.

5. (Amended) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:

R₁ is selected from the group consisting of cyclopentyl, pyridyl, pyrimidinyl, piperidinyl, and thiazolyl;

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R₂ is H, F, or C₁ alkyl;

R₃ is COOR₅;

R₄ is SH;

R₅ is H;

X is CHZ;

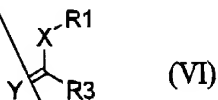
Y is CHZ; and

Z is independently H or C₁-C₆ alkyl.

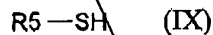
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6. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R₁, R₃, R₄, and Y are as defined in claim 1, X is C(Z)₂, and R₂ is H, comprising the step of:

reacting a compound of Formula VI,



wherein R₁, R₃ and Y are as defined in claim 1 and X is C(Z)₂, with a compound of Formula IX,



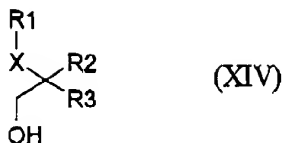
wherein R₅ is a protecting group, optionally in the presence of a base or a free-radical initiator.

7. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R₁, R₂, R₃, and R₄ are as defined in claim 1, Y is CH₂, and X is O, S, C(Z)₂, or N(Z), comprising the step of:

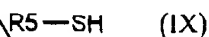
reacting a compound of Formula XIV,

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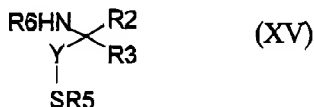
wherein R_1 , R_2 , and R_3 are as defined in claim 1, and X is O, S, $C(Z)_2$, or $N(Z)$, with a compound of general Formula IX,



wherein R_5 is a protecting group, in the presence of a reagent, under standard conditions.

8. (Amended) A process for the preparation of a compound according to any one of claims 1-5, wherein R_1 , R_2 , R_3 , R_4 , and Y are as defined in claim 1, and X is NR_6CO or NR_6SO_2 , comprising the step of:

reacting a compound of general Formula XV,



wherein R_2 , R_3 , R_6 and Y are as defined in claim 1 and R_5 is a protecting group, with a compound of general Formula XVI,



wherein R_1 is as defined in claim 1 and X is $COOH$ or SO_2Cl , in the presence of a coupling reagent, under standard conditions.

9. (Amended) A pharmaceutical formulation comprising a compound according to any one of claims 1 to 5 as active ingredient in combination with a pharmaceutically acceptable adjuvant, diluent or carrier.

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12. (Amended) A method for treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising administering to a patient in need of such treatment an effective amount of a compound according to any one of claims 1-5.

13. (Amended) A pharmaceutical formulation for the treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising a compound according to any one of claims 1-5 in combination with a pharmaceutically acceptable adjuvant, diluent, or carrier.

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14. (Amended) A pharmaceutical formulation, comprising:

- (i) a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt; and
 - (ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),
- in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

15. (Amended) A kit of parts comprising:

- (i) a pharmaceutical formulation comprising a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and
 - (ii) a pharmaceutical formulation comprising one or more antithrombotic agents with a different mechanism of action from that of component (i),
- in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier, wherein compound (i) and agent (ii) are each formulated for administration in conjunction with the other.

16. (Amended) A method for treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient a therapeutically effective total amount of:

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- (i) a compound of Formula I, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and
- (ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),
- Sub B13*
- in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

17. (Amended) A method for the treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient the formulation according to claim 14.

Add new claims 18-28.

- A3*
18. (New) The compound according to any one of claims 1-4, wherein the basic group is selected from the group consisting of amino, amidino, and guanidino.
19. (New) The process according to claim 6, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).
- Sub B13*
20. (New) The process according to claim 6, wherein the base is selected from the group consisting of NaOMe, NaH, and triethylamine.
21. (New) The process according to claim 6, wherein the free-radical initiator is α, α' -azobisisobutyronitrile (AIBN).
22. (New) The process according to claim 7, wherein the protecting group is acetate (Ac) or benzoyl (Bz).
23. (New) The process according to claim 7, wherein the reagent is PPh_3 /diisopropyl azodicarboxylate (DIAD).
24. (New) The process according to claim 8, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).

contd.
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25. (New) The process according to claim 8, wherein the coupling reagent is selected from the group consisting of:

- (i) (benzotriazol-1-yloxy)tripyrrolidinophosphonium hexafluorophosphate (PyBOP)/diisopropylethylamine (DIPEA);
- (ii) dicyclohexylcarbodiimide (DCC)/1-hydroxybenzotriazol (HOBt);
- (iii) 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide (EDC)/triethylamine (TEA)/N,N-dimethyl amino pyridine (DMAP); and
- (iv) pyridine.

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26. (New) The formulation according to claim 14, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

27. (New) The kit according to claim 15, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

28. (New) The method according to claim 16, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.